

The Office has required election of one of the following inventions:

I. Claims 1-21, drawn to a first process of making a composition containing a cyclopeptide, carbohydrate, and a granular diluent or carrier, classified in class 530, subclass 9.

II. Claims 22-24, drawn to a first composition containing a cyclopeptide and other molecules, classified in class 530, subclass 333.

III. Claim 25, drawn to a first process of use of a composition, classified in class 514, subclass 2.

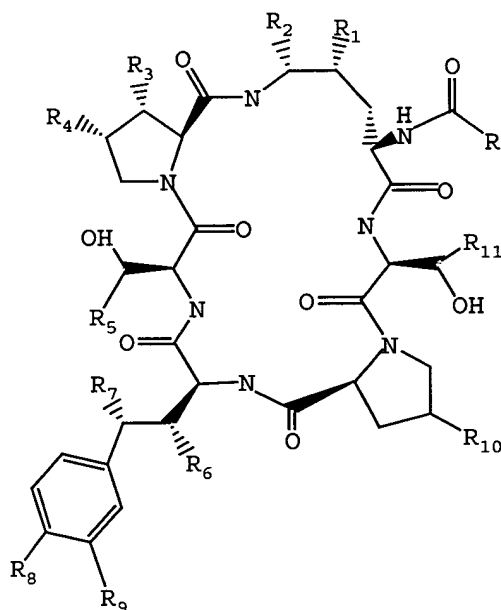
IV. Claims 26-47, drawn to a second process of making a composition containing a cyclopeptide, carbohydrate, and a non-granular diluent or carrier, classified in class 530, subclass 9.

V. Claims 48-50, drawn to a second composition containing a cyclopeptide and other molecules, classified in class 530, subclass 333.

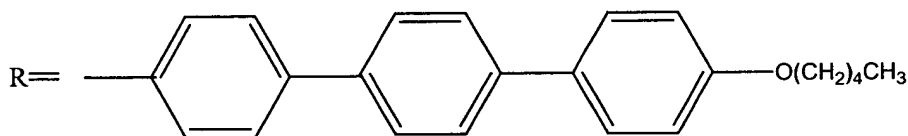
VI. Claim 51, drawn to a second process of use of a composition, classified in class 514, subclass 2.

Applicant hereby elects Group I (claims 1-21), without traverse. Applicant expressly reserves his/her right under 35 U.S.C. § 121 to file a divisional application directed to the nonelected subject matter during the pendency of this application, or an application claiming priority from this application.

The Examiner also has requested an election of species under 35 U.S.C. § 1.121. The Applicant hereby elects the species where the echinocandin is represented by the formula shown below:



where  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_6$ ,  $R_7$ ,  $R_8$ , and  $R_{10}$  are hydroxy groups;  $R_4$ ,  $R_5$  and  $R_{11}$  are methyl groups;  $R_9$  is a hydrogen, and  $R$  is as defined as



where the carbohydrate is fructose, the mixture of solvents is a mixture of water and acetone, and the granular diluent is mannitol.

Claims readable on the elected species are claims 1-21.

Applicant requests examination of the elected subject matter on the merits. . The Applicant notes that the generic claims should be considered if one or more species claims are found patentable.

**Regarding the Request for Preliminary Set of Amended Claims**

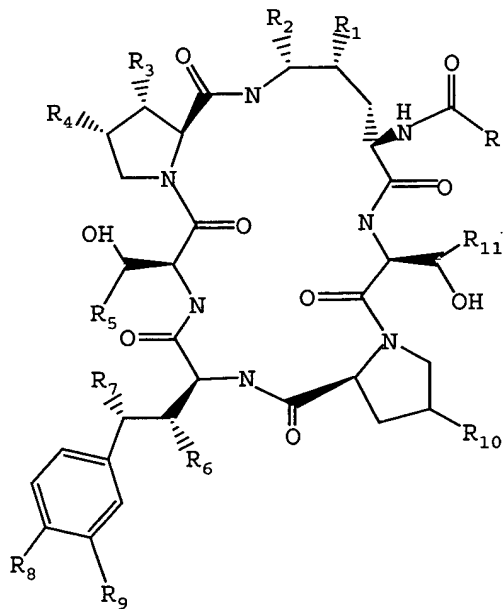
The Examiner has requested a preliminary set of amended claims directed to the elected invention, which do not contain dependencies to non-elected inventions or improper multiple dependent claims. The Applicant submits that the elected group of claims (Group I), as originally filed, are claims meeting the guidelines listed by the Examiner. However, for the convenience of the Examiner and in order to expedite prosecution, the claims are reproduced in the following section under “Preliminary Set of Claims”, with the status of the originally-filed claims indicated.

## PRELIMINARY SET OF CLAIMS

--1. (Elected) A process for preparing an oral pharmaceutical formulation comprising the steps of:

- (i) mixing an echinocandin compound or echinocandin/carbohydrate complex and at least one carbohydrate in a solvent or mixture of solvents to form a pharmaceutical solution;
- (ii) spraying said solution onto a layer of fluidized granular diluent or carrier;
- and
- (iii) removing the excess of said solvent or solvents to form granules.

2. (Elected) The process of Claim 1 wherein said echinocandin compound or echinocandin of said echinocandin/carbohydrate complex is represented by the following structure:



wherein:

R is an alkyl group, an alkenyl group, an alkynyl group, an aryl group, heteroaryl group, or combinations thereof;

R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>6</sub>, R<sub>7</sub>, and R<sub>10</sub> are independently hydroxy or hydrogen;

R<sub>4</sub> is hydrogen, methyl or -CH<sub>2</sub>C(O)NH<sub>2</sub>;

R<sub>5</sub> and R<sub>11</sub> are independently methyl or hydrogen;

R<sub>4</sub> is -OH, -OPO<sub>3</sub>H<sub>3</sub>, -OPO<sub>2</sub>HCH<sub>3</sub>, -OPO<sub>2</sub>HCH<sub>3</sub>, or -OSO<sub>3</sub>H;

R<sub>9</sub> is -H, -OH, or -OSO<sub>3</sub>H; and

pharmaceutically acceptable salts thereof.

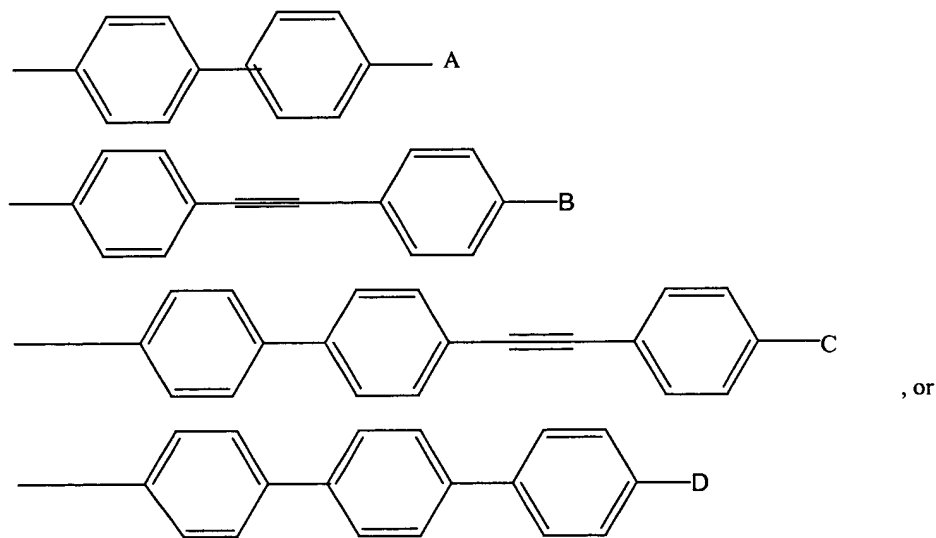
3. (Elected) The process of Claim 2 wherein

R<sub>4</sub>, R<sub>5</sub> and R<sub>11</sub> are each methyl;

R<sub>2</sub> and R<sub>7</sub> are independently hydrogen or hydroxy; R<sub>1</sub>, R<sub>3</sub>, R<sub>6</sub> and R<sub>10</sub> are each hydroxy;

R<sub>8</sub> is -OH, -OPO<sub>3</sub>HCH<sub>3</sub>, or -OPO<sub>2</sub>HCH<sub>3</sub>;

R is linoleoyl, palmitoyl, stearoyl, myristoyl, 12-methylmyristoyl, 10,12-dimethylmyristoyl, or a group having the general structure:



where A, B, C and D are independently hydrogen, C<sub>1</sub>-C<sub>12</sub> alkyl, C<sub>2</sub>-C<sub>12</sub>, alkynyl, C<sub>1</sub>-C<sub>12</sub>, alkoxy, C<sub>1</sub>-C<sub>12</sub> alkylthio, halo, or

-O-(CH<sub>2</sub>)<sub>m</sub> [O-(CH<sub>2</sub>)<sub>n</sub>]<sub>p</sub> O-(C<sub>1</sub>-C<sub>12</sub> alkyl), or

-O-(CH<sub>2</sub>)<sub>q</sub>-X-E; m is 2, 3 or 4;

n is 2, 3 or 4; p is 0 or 1; q is 2, 3 or 4;

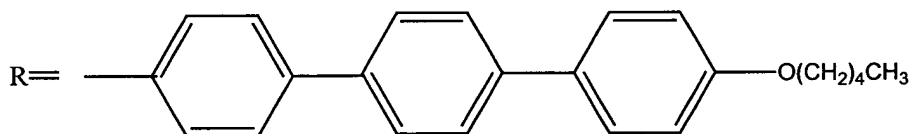
X is pyrrolidino, piperidino or piperazino;

E is hydrogen, C<sub>1</sub>-C<sub>12</sub> alkyl, C<sub>3</sub>-C<sub>12</sub>, cycloalkyl, benzyl or C<sub>3</sub>-C<sub>12</sub> cycloalkylmethyl.

4. (Elected) The process of Claim 3 wherein

R<sub>2</sub> and R<sub>7</sub> are each hydroxy;

R<sub>8</sub> is hydroxy, and



5. (Elected) The process of Claim 1 wherein said at least one carbohydrate is selected from the group consisting of adonitol, arabinose, arabitol, ascorbic acid, chitin, D-cellubiose, 2-deoxy-D-ribose, dulcitol, (S)-(+)-erythrulose, fructose, fucose, galactose, glucose, inositol, lactose, lactulose, lyxose, maltitol, maltose, maltotriose, mannitol, mannose, melezitose, melibiose, microcrystalline cellulose, palatinose, pentaerythritol, raffinose, rhamnose, ribose, sorbitol, sorbose, starch, sucrose, trehalose, xylitol, xylose and hydrates thereof.

6. (Elected) The process of Claim 1 wherein said at least one carbohydrate is selected from the group consisting of L-arabinose, D-arabitol, L-arabitol, 2-deoxy-D-ribose, (S)-(+)-erythrulose, D-fructose, D-(+)-fucose, L-fucose, D-galactose, (β-D-glucose, D-lyxose, L-lyxose,

D-maltose, maltotriose, melezitose, palatinose, D-raffinose, D-sorbitol, D-trehalose, xylitol, L-xylose and hydrates thereof.

7. (Elected) The process of Claim 4 wherein said mixture of solvents is acetone and water.

8. (Elected) The process of Claim 7 wherein said acetone is present in an amount from 50% to 70% based on volume relative to said water.

9. (Elected) The process of Claim 1 wherein said granular diluent or carrier is selected from the group consisting of adonitol, arabinose, arabitol, ascorbic acid, chitin, D-cellubiose, 2-deoxy-D-ribose, dulcitol, (S)-(+)-erythrulose, fructose, fucose, galactose, glucose, inositol, lactose, lactulose, lyxose, maltitol, maltose, maltotriose, mannitol, mannose, melezitose, melibiose, microcrystalline cellulose, palatinose, pentaerythritol, raffinose, rhamnose, ribose, sorbitol, sorbose, starch, sucrose, trehalose, xylitol, xylose, polyethylene glycols, hydroxypropyl methylcelluloses, hydroxypropyl methylcellulose phthalates, dextrates and hydrates thereof.

10. (Elected) The process of Claim 1 wherein said granular diluent or carrier is a carbohydrate selected from the group consisting of fructose, glucose, lactose, lactulose; maltitol, maltose, maltotriose, mannitol, mannose, microcrystalline cellulose, hydroxypropyl methylcellulose, hydroxypropyl methylcellulose phthalate, dextrates, dextrin, sorbitol, sorbose, starch, sucrose, trehalose, xylitol, xylose and hydrates thereof.

11. (Elected) The process of Claim 1 wherein said granular diluent or carrier is selected from the group consisting of mannitol, lactose, maltose and hydrates thereof.

12. (Elected) The process of Claim 1 wherein said echinocandin compound is present in said granules in an amount from about 5% to 25% by weight.

13. (Elected) The process of Claim 1 wherein said echinocandin compound is present in said granules in an amount from about 7% to 20% by weight.

14. (Elected) The process of Claim 1 wherein said echinocandin compound is present in said granules in an amount from about 12% to 16% by weight.

15. (Elected) The process of Claim 1 wherein said carbohydrate is present in said granules in an amount from about 5% to 25% by weight.

16. (Elected) The process of Claim 1 wherein said carbohydrate is present in said granules in an amount from about 7% to 20% by weight.

17. (Elected) The process of Claim 1 wherein said carbohydrate is present in said granules in an amount from about 12% to 16% by weight.

18. (Elected) The process of Claim 1 wherein said carrier or diluent is present in said granules in an amount from about 50% to 90% by weight.

19. (Elected) The process of Claim 1 wherein said carrier or diluent is present in said granules in an amount from about 60% to 80% by weight.

20. (Elected) The process of Claim 1 wherein said carrier or diluent is present in said granules in an amount from about 65% to 75% by weight.

21. (Elected) The process of Claim 1 wherein said pharmaceutical solution further comprises excipients selected from the group consisting of surfactants, flavorings, colorants, processing aids, and combinations thereof.--

22-51 (Non-elected).


## CONCLUSION

In the unlikely event that the transmittal letter is separated from this document and the Patent Office determines that an extension and/or other relief is required, Applicant petitions for any required relief including extensions of time and authorizes the Assistant Commissioner to charge the cost of such petitions and/or other fees due in connection with the filing of this document to **Deposit Account No. 03-1952** referencing docket no. 342312003401. However, the Assistant Commissioner is not authorized to charge the cost of the issue fee to the Deposit Account.

Respectfully submitted,

Dated: April 10, 2003

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